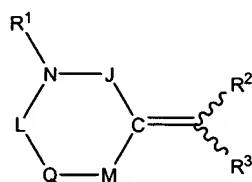


ABSTRACT OF THE DISCLOSURE

A method is described for identifying a compound that modulates the ability of a glycosyltransferase to bind a substrate comprising combining a glycosyltransferase, a labeled substrate, and a compound, in a reaction vessel, under conditions known to be suitable for the glycosyltransferase to bind the labeled substrate, measuring an amount of labeled substrate bound to the glycosyltransferase, and comparing the amount to a standardized amount to identify a relative increase or decrease in substrate bound glycosyltransferase, thereby identifying a compound that modulates the ability of the glycosyltransferase to bind the substrate. A composition comprising an effective amount of a compound of Formula I, or a stereoisomer, or pharmaceutically acceptable salt thereof, that inhibits the ability of a glycosyltransferase to bind a substrate, in a pharmaceutically acceptable carrier is provided,



(I)

wherein:

J is selected from C=O, S, NH, C=S, CH R¹, and C R¹R¹;

M is selected from C=O, S, C=S, CH R¹, and C R¹R¹;

L is selected from C=O, NH, C=S, S, CH R¹, CR¹R¹CHR², CR²R², =N-, -C(=NR¹)-,

and -C(R¹)=;

Q is absent or selected from -NH-, and -NR¹;

R¹, is selected from H, C₁-6 alkyl, C₂-8 alkenyl, C₂-8 alkynyl, F, Cl, Br, I, NO₂, CN,

(CH₂)_rOH, (CH₂)_rSH, (CH₂)_rOR^{1d}, (CH₂)_rSR^{1d}, (CH₂)_rNR^{1a}R^{1a'},

(CH₂)_rC(O)OH, (CH₂)_rC(O)R^{1b}, (CH₂)_rC(O)NR^{1a}R^{1a'}, (CH₂)_rNR^{1a}C(O)R^{1a},

(CH₂)_rNR^{1a}C(O)H, (CH₂)_rNR^{1a}C(O)NHR^{1a}, (CH₂)_rC(O)OR^{1b},

(CH₂)_rOC(O)R^{1b}, (CH₂)_rOC(O)NHR^{1a}, (CH₂)_rS(O)₂OH,

- (CH₂)_rS(O)₂NR^{1a}R^{1a'}, (CH₂)_rNR^{1a}S(O)₂R^{1b}, C₁₋₆ haloalkyl, a (CH₂)_r-C₃₋₁₃ carbocyclic residue substituted with 0-5 R^{1c}, and a (CH₂)_r-5-10 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{1c};
- 5 R^{1a} and R^{1a'}, at each occurrence, are selected from H, C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, a (CH₂)_r-C₃₋₁₀ carbocyclic residue substituted with 0-5 R^{1e}, and a (CH₂)_r-5-10 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{1e};
- R^{1b}, at each occurrence, is selected from C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, a
- 10 (CH₂)_r-C₃₋₆ carbocyclic residue substituted with 0-2 R^{1e}, and a (CH₂)_r-5-6 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-3 R^{1e};
- R^{1c}, at each occurrence, is selected from C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl,
- (CH₂)_r-C₃₋₆ cycloalkyl, Cl, Br, I, F, (CF₂)_rCF₃, NO₂, CN, (CH₂)_rNR^{1f}R^{1f},
- 15 (CH₂)_rOH, (CH₂)_rOC₁₋₄ alkyl, (CH₂)_rSC₁₋₄ alkyl, (CH₂)_rC(O)OH,
- (CH₂)_rC(O)R^{1b}, (CH₂)_rC(O)NR^{1f}R^{1f}, (CH₂)_rNR^{1f}C(O)R^{1a}, (CH₂)_rC(O)OC₁₋₄ alkyl, (CH₂)_rOC(O)R^{1b}, (CH₂)_rC(=NR^{1f})NR^{1f}R^{1f}, (CH₂)_rS(O)_pR^{1b},
- (CH₂)_rNHC(=NR^{1f})NR^{1f}R^{1f}, (CH₂)_rS(O)₂NR^{1f}R^{1f}, (CH₂)_rNR^{1f}S(O)₂R^{1b},
- and (CH₂)_rphenyl substituted with 0-3 R^{1e};
- 20 R^{1d}, at each occurrence, is selected from C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, a C₃₋₁₀ carbocyclic residue substituted with 0-3 R^{1c}, and a 5-6 membered heterocyclic system containing 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-3 R^{1c};
- R^{1e}, at each occurrence, is selected from C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl,
- 25 (CH₂)_r-C₃₋₆ cycloalkyl, Cl, F, Br, I, CN, NO₂, (CF₂)_rCF₃, (CH₂)_rOC₁₋₅ alkyl, OH, SH, (CH₂)_rSC₁₋₅ alkyl, (CH₂)_rNR^{1f}R^{1f}, and (CH₂)_rphenyl;
- R^{1f}, at each occurrence, is selected from H, C₁₋₆ alkyl, and C₃₋₆ cycloalkyl;

R₂ is selected from (CH₂)_r-C₅₋₁₀ carbocyclic residue substituted with 0-7 R^{2a}, and a (CH₂)_r-5-10 membered heterocyclic system optionally containing C=O and 1-4 heteroatoms selected from N, O, and S, wherein the heterocyclic system is substituted with 0-7 R^{2a};

- 5 R^{2a}, at each occurrence, is selected from H, C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, (CH₂)_rC₃₋₆ cycloalkyl, Cl, Br, I, F, (CF₂)_rCF₃, NO₂, CN, (CH₂)_rOH, (CH₂)_rOC₁₋₄ alkyl, (CH₂)_rSC₁₋₄ alkyl, (CH₂)_rC(O)OH, (CH₂)_rC(O)R^{9b}, (CH₂)_rC(O)NR^{1f}R^{1f} and (CH₂)_rphenyl wherein the phenyl on the (CH₂)_r phenyl is substituted with 0-5 substituents selected from F, Cl, Br, I, NO₂, C₁₋₆ alkyl, OH, (CH₂)_rC(O)OH, (CH₂)_rC(O)OC₁₋₄ alkyl, NR^{2b} R^{2b}, and (CH₂)_rS(O)₂ NR^{2b} R^{2b}.
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R^{2b}, at each occurrence, is selected from H, C₁₋₆ alkyl, and C₃₋₆ cycloalkyl; and

R₃ is selected from H;

- alternatively R₂ and R₃ join to form a 5-10 membered heterocyclic system optionally containing C=O and 1-4 heteroatoms selected from N, O, and S, wherein the heterocyclic system is substituted with 0-7 R^{2a}.
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- A method of controlling the growth of bacteria is described which comprises applying an effective amount of a compound of Formula I to a site where control of the growth of bacteria is needed.
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